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NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
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NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
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FILE 'HOME' ENTERED AT 16:18:43 ON 31 AUG 2004

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.21 0.21

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STRUCTURE FILE UPDATES: 30 AUG 2004 HIGHEST RN 736108-36-4
DICTIONARY FILE UPDATES: 30 AUG 2004 HIGHEST RN 736108-36-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:19:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 16:19:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

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FILE COVERS 1907 - 31 Aug 2004 VOL 141 ISS 10
FILE LAST UPDATED: 30 Aug 2004 (20040830/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

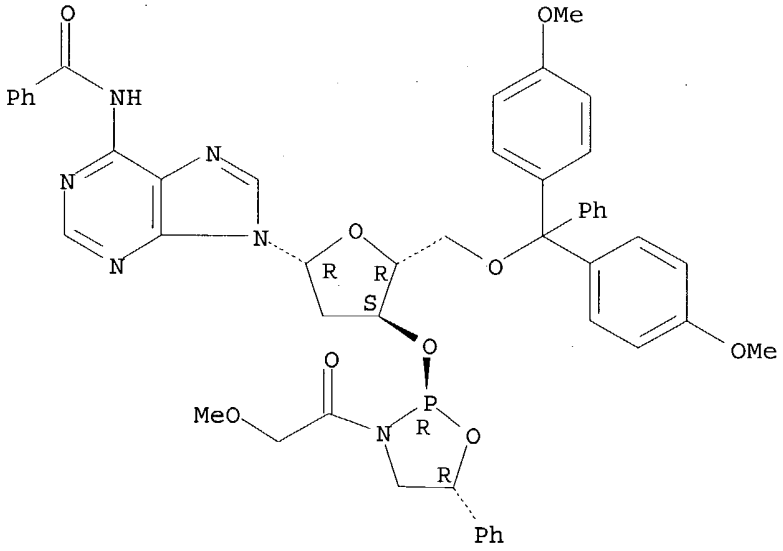
=> s l3
L4 3 L3

=> d l4 ibib hitstr abs 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:73531 CAPLUS
DOCUMENT NUMBER: 136:232485
TITLE: Direct assignment of the absolute configuration of a distinct class of deoxyribonucleoside cyclic N-acylphosphoramidites at phosphorus by M-GOESY nuclear magnetic resonance spectroscopy
AUTHOR(S): Wilk, Andrzej; Grajkowski, Andrzej; Bull, Thomas E.; Dixon, Ann M.; Freedberg, Daron I.; Beaucage, Serge L.
CORPORATE SOURCE: Division of Therapeutic Proteins and Division of Bacterial, Parasitic & Allergenic Products, Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA
SOURCE: Journal of the American Chemical Society (2002), 124(7), 1180-1181
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:232485
IT **403651-75-2P 403651-76-3P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (direct assignment of absolute. configuration of distinct class of deoxyribonucleoside cyclic nacylphosphoramidites at phosphorus by GOESY NMR spectroscopy)

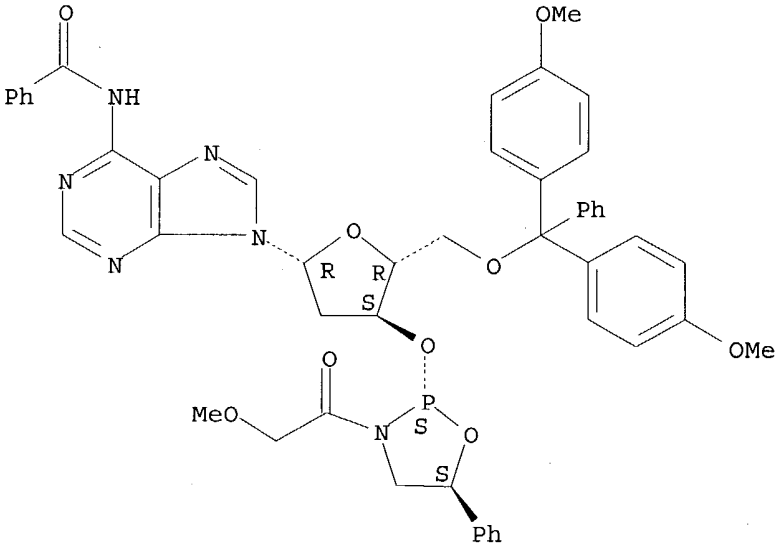
RN 403651-75-2 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2R,5R)-3-(methoxyacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403651-76-3 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2S,5S)-3-(methoxyacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The determination of the absolute configuration of deoxyribonucleoside cyclic N-acylphosphoramidites at phosphorus toward the synthesis of

P-stereodifined phosphorothioated oligodeoxyribonucleotides is easily accomplished with computer-assisted mol. modeling and M-GOESY NMR spectroscopy. Specifically, computer-modeling diastereomeric phosphoramidite 3 has identified a proximal (2.55 Å) through-space interaction between benzylic H-5 and sugar H-2'', which can predictably be detected by M-GOESY NMR in SP-3 but not in RP-3 because of being too distant (5.85 Å). Consistent with computer-assisted modeling predictions, M-GOESY NMR spectra of SP-3 and RP-3 revealed NOE signals generated from nuclei near the selectively excited H-2'' that are common to both SP-3 and RP-3, namely those of H-2', H-4', H-3', and H-1'. In addition, a diagnostic NOE signal at 5.5 ppm (benzylic H-5) is, as predicted, only detected in SP-3 and thus provides an unequivocal assessment of the configuration of the diastereomer at phosphorus. M-GOESY NMR data also confirm that the condensation of deoxyribonucleoside cyclic N-acylphosphoramidites with base-activated nucleosidic or nucleotidic 5'-hydroxyls proceeds via a single nucleophilic event.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:851807 CAPLUS
DOCUMENT NUMBER: 135:371960
TITLE: Solid phase synthesis of oligonucleotides using thermo-labile phosphorus protecting groups
INVENTOR(S): Beaucage, Serge L.; Wilk, Andrzej; Grajkowski, Andrzej
PATENT ASSIGNEE(S): The United States of America as Represented by the Department of Health and Human Services, USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of Appl. No. PCT/US00/04032.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

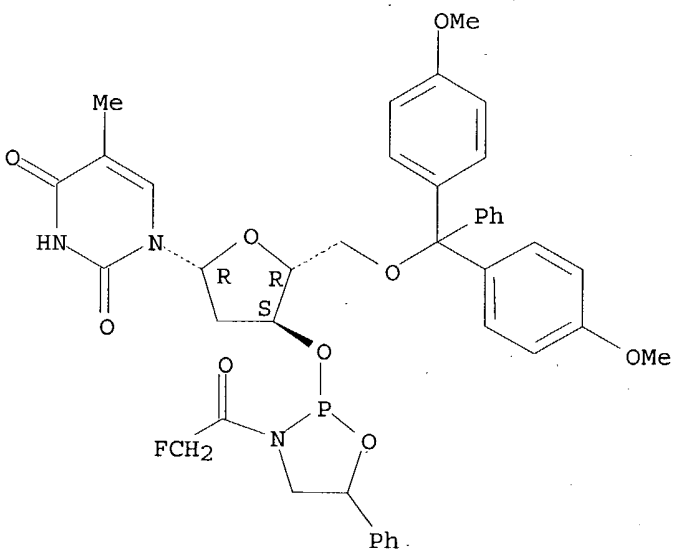
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20010044529	A1	20011122	US 2001-792799	20010223
US 6762298	B2	20040713		
WO 2000056749	A1	20000928	WO 2000-US4032	20000216

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-125867P P 19990324
WO 2000-US4032 A2 20000216

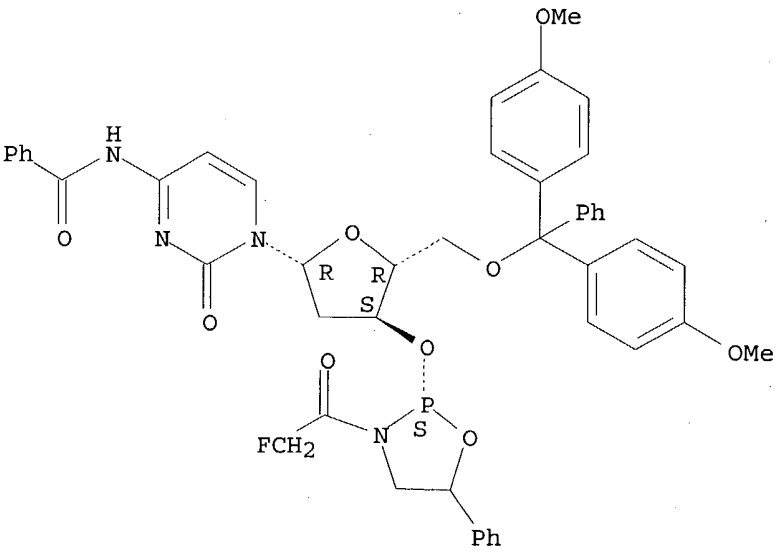
IT **373602-58-5 373602-59-6 373602-60-9**
373602-61-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid phase synthesis of oligonucleotides using thermo-labile phosphorus protecting groups)
RN 373602-58-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



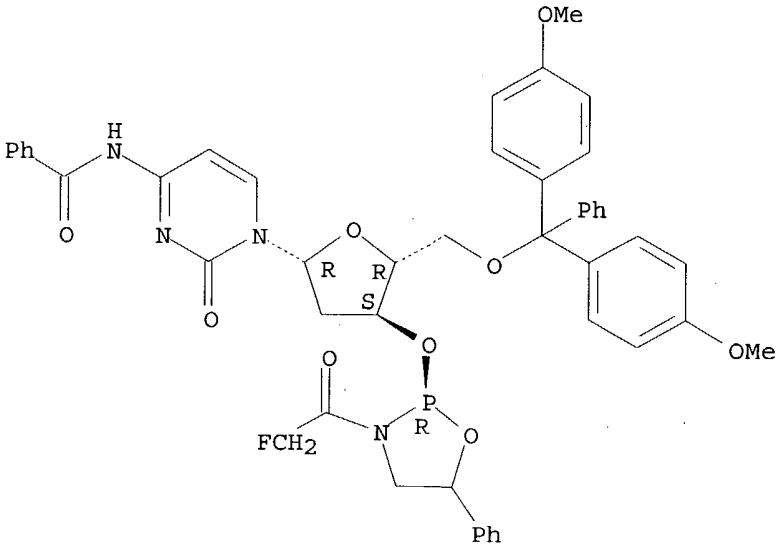
RN 373602-59-6 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



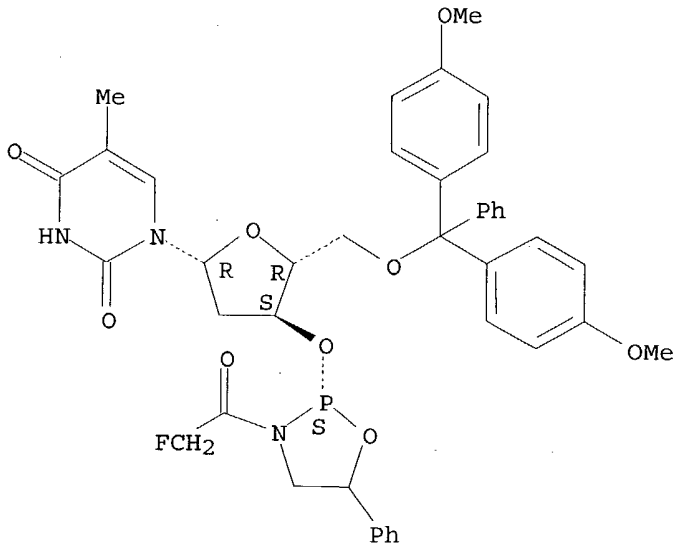
RN 373602-60-9 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 373602-61-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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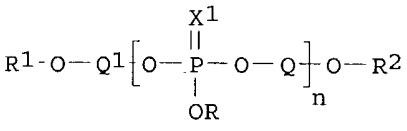

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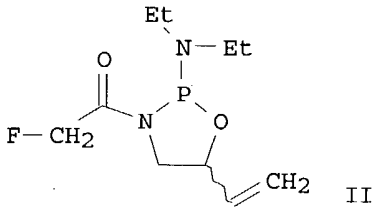


II

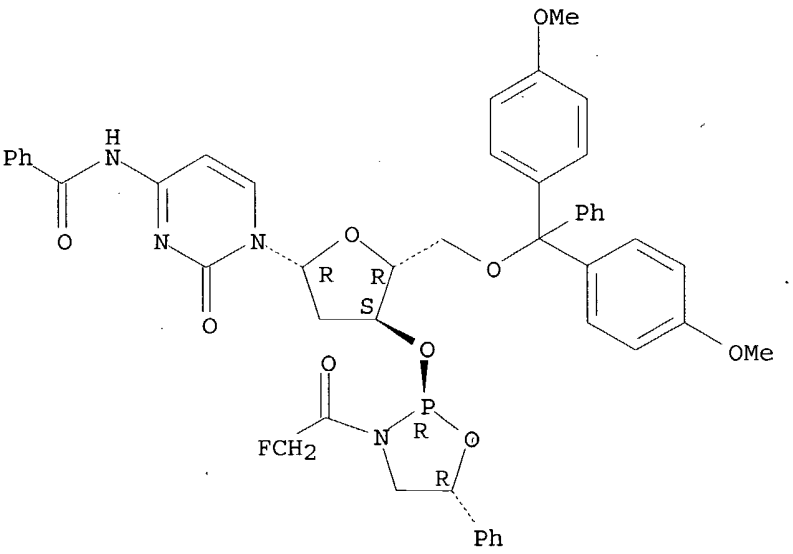
AB The invention provides a method of thermally de-protecting the internucleosidic phosphorus linkage of an oligonucleotide I wherein R is H or a thermolabile protecting group; R1 and R4 are independently H or hydroxyl protecting group; Q and Q1 are independently a nucleoside, oligonucleotide; X1 is O, S, Se, which method comprises heating in a fluid medium at a substantially neutral pH. The present invention further provides a method of synthesizing an oligonucleotide using the thermal deprotection method and novel oligonucleotides and intermediates that incorporate the thermo-labile protecting group used in accordance with the present invention. Thus,oxazaphospholane II was prepared and used in synthesis of oligonucleotides such as TPOT.

REFERENCE COUNT: 128 THERE ARE 128 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:125936 CAPLUS
DOCUMENT NUMBER: 132:308590
TITLE: Deoxyribonucleoside Cyclic N-Acylphosphoramidites as a New Class of Monomers for the Stereocontrolled Synthesis of Oligothymidylyl- and Oligodeoxycytidylyl-Phosphorothioates
AUTHOR(S): Wilk, Andrzej; Grajkowski, Andrzej; Phillips, Lawrence R.; Beaucage, Serge L.
CORPORATE SOURCE: Division of Therapeutic Proteins Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA
SOURCE: Journal of the American Chemical Society (2000), 122(10), 2149-2156
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **264881-16-5P 264881-45-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates)
RN 264881-16-5 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2R,5R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI)

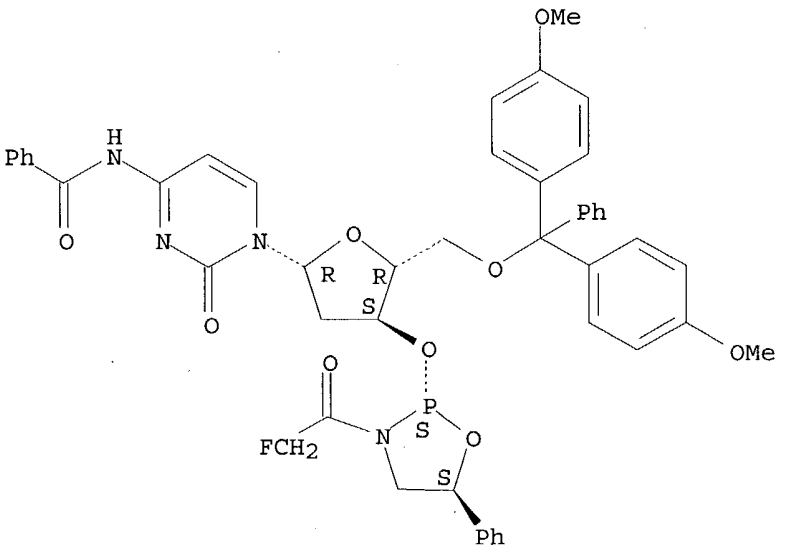
(CA INDEX NAME)

Absolute stereochemistry.



RN 264881-45-0 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2S,5S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

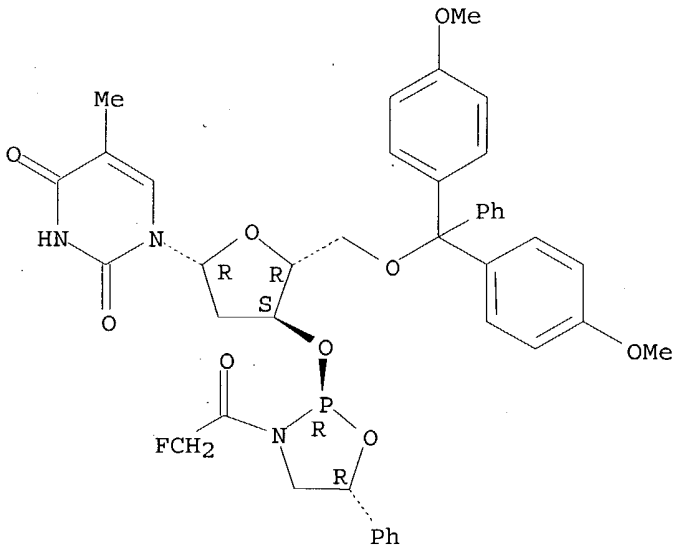
Absolute stereochemistry.



IT **264881-44-9P 264881-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates)

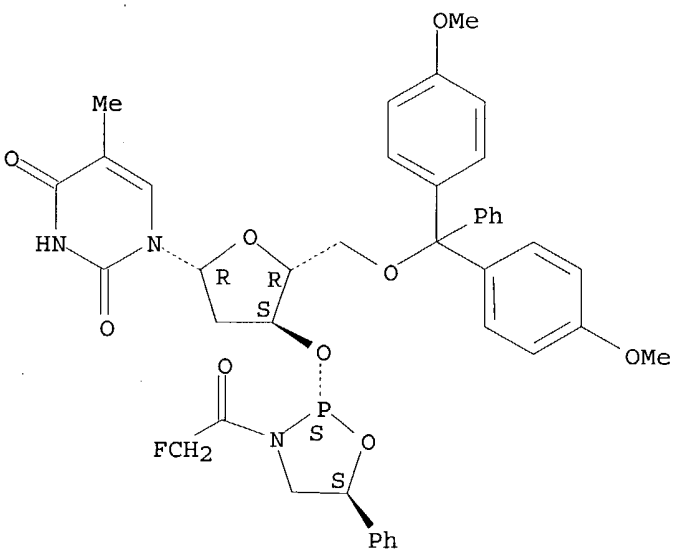
RN 264881-44-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2R,5R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264881-50-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2S,5S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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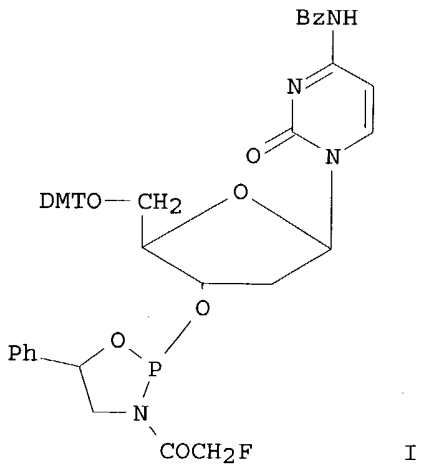

I

AB A simple and straightforward synthesis of pyrimidine 2'-deoxyribonucleoside cyclic N-acylphosphoramidites I is described. Specifically, (±)-2-amino-1-phenylethanol was chemoselectively N-acylated by treatment with Et fluoroacetate followed by reaction with hexaethylphosphorus triamide to afford the cyclic N-acylphosphoramidite as a mixture of diastereomeric rotamers. Condensation of N4-benzoyl-5'-O-(4,4'-dimethoxytrityl)-2'-deoxycytidine with the cyclic N-acylphosphoramidite in the presence of 1H-tetrazole gave, after silica gel chromatog., pure (R)- and (S)-I. 31P NMR studies indicated that when (R)- or (S)-I is reacted with 3'-O-acetylthymidine and N,N,N',N'-tetramethylguanidine in CD3CN, the dinucleoside phosphotriester is formed in near quant. yield with total P-stereospecificity (δP 144.2 or 143.9 ppm). Sulfurization generated the P-stereodefined dinucleoside phosphorothioate (δP 71.0 or 71.2 ppm). The 2'-deoxycytidine cyclic N-acylphosphoramidite derivs. (R)- and (S)-I were subsequently applied to the solid-phase synthesis of [Rp,Rp]- and [Sp,Sp]-trideoxycytidilyl diphosphorothioate d(CpsCpsC), and [Rp,Sp,Rp]-tetradeoxycytidilyl triphosphorothioate d(CpsCpsCpsC). Following deprotection, reversed-phase (RP) HPLC anal. of these oligonucleotide analogs showed a single peak for each oligomer. By comparison, RP-HPLC anal. of purified P-diastereomeric d(CpSCpSC) and d(CpSCpSCpSC) prepared from standard 2-cyanoethyl deoxyribonucleoside phosphoramidites exhibited 4 and 8 peaks, resp., each peak corresponding to a specific P-diastereomer. The thymidine cyclic N-acylphosphoramidite derivs. were also prepared, purified, and used successfully in the solid-phase synthesis of [Rp]11-d[(TpS)11T]. . Thus, the application of deoxyribonucleoside cyclic N-acyl phosphoramidites to P-stereocontrolled synthesis of oligodeoxyribonucleoside phosphorothioates may offer a compelling alternative to the methods currently used for such syntheses.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	14.72	170.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION